SUMMARY

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Aminoalcohols are versatile molecules due to the presence of amino and hydroxyl groups in a single molecule. This combination makes them useful for countless industrial applications such as textile, house hold products and pharmaceutical industries. '**Ethambutol**' **(1)**, an important antitubercular drug is manufactured from ***(S)***-(+)-2-amino-1-butanol. Wilkinson *etal* first reported the synthesis and chemotherapeutic evaluation of '**Ethambutol**', which is four times as active as streptomycin against an established infection with the human strain of *mycobacterium tuberculosis*.

N-substituted alkanolamines were tested '*invitro*' against four oral microorganisms such as *streptococcus mutans*, *streptococcus sobrinus*, *actinomyces viscosus* and *actinomyces naselundii* and found effective. A series of lipophilic aminoalcohol analogues of the anticholinergic drug '**Vesamicol**', was found to have calcium channel blocking activity. The alkanolamines have been used as intermediates in molluscicides, herbicides, algaecides and fungicides. They can be used in a variety of corrosion inhibiting formulation, lubricating oils, cleaning and etching solutions.

Since both the enantiomers of 2-amino-1-butanol were readily available, we have chosen it as the representative aminoalcohol for our study. We have focussed on the derivatisation of both the enantiomers of 2-amino-1-butanol and their stereochemistry.

Chapter I describe the documented literature, the method of preparation and reactions of aminoalcohols and their uses.

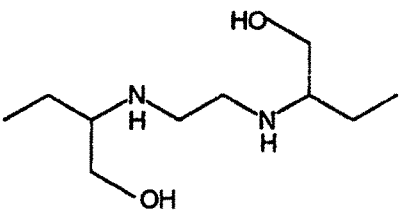
In chapter II, the synthesis of various chiral N-benzyl derivatives of 2-amino-1-butanol have been described. N-substituted alkanolamines are reported to be bactereocidal '*invitro*' against *mutans streptococci*, which form plaque on tooth surface. Several derivatives of 2-amino-1-butanol showed anti-arrhythmic activity. The ***(R)*** & ***(S)*** N-benzyl-2-amino-1-butanol **(2 & 3)** were synthesised by the condensation of ***(R)*** & ***(S)*** 2-amino-1-butanol with benzaldehyde and various substituted benzaldehydes (3-nitro benzaldehyde, 4-chlorobenzaldehyde, 4-methylbenzaldehyde, 4-methoxy benzaldehyde and 3,4-dimethoxybenzaldehyde) followed by reduction. The imine formed by the reaction of 2-amino-1-butanol with various aldehydes were found to be in equilibrium with the corresponding 1,3-oxazolidines, which on reduction with sodium borohydride furnished ***(R)*** & ***(S)*** N-benzyl derivatives of 2-amino-1-butanol.

Chapter III describes the diastereoselective formation of 1,3-oxazolidines from N-tosyl, N-benzenesulfonyl and N-benzyloxycarbonyl derivatives of 2-amino-1-butanol. Various ***(2R*,4R*)*** &***(2S*,4S*)*** 2-aryl-3-tosyl-4-ethyl-1,3-oxazolidines **(4 & 5)**, ***(2R*,4R*)*** &***(2S*,4S*)*** 2-aryl-3-benzene sulfonyl-4-ethyl-1,3-oxazolidines **(6 & 7)**, ***(2R*,4R*)*** &***(2S*,4S*)***2-aryl-3-benzyl oxycarbonyl-4-ethyl-1,3-oxazolidines **(8 & 9)** were prepared. The absolute configuration of two molecules were determined by X-ray crystallography. It is observed that the oxazolidines formed from ***(R)***-(-)-2-

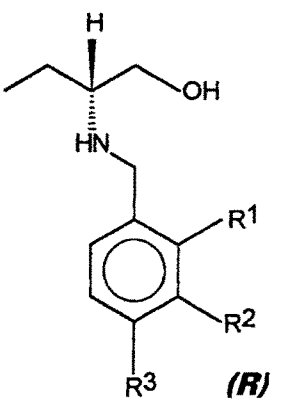
amino-1-butanol has ***(2R*,4R*)*** configuration and that from ***(S)***-(+)-2amino-1-butanol has ***(2S*,4S*)*** configuration.

The first part of chapter IV describes the synthesis of an isoindolin-1-one molecule. **Stautosporine**, a protein kinase C inhibitor, **Indoprofen**, an anti inflammatory agent and **Pazinaclone**, an anxiolytic agent are heterocyclic compounds containing isoindolin-1-one moeities. Grigg *etal* reported the synthesis of various isoindolin-1-one molecule by the reaction of o-phthalaldehyde with various amino acids in presence of acetic acid. This methodology was modified and applied for the synthesis of isoindolin-1-one molecule. We have synthesised ***(R)*** N-[2-(1-hydroxybutyl)] isoindolin-1-one **(10)** by the reaction of ***(R)***-(-) 2-amino-1-butanol with o-phthalaldehyde, and the structure of the product was unambiguously assigned by single crystal X-ray diffraction.

The second part of chapter IV describes the formation of a chiral ten membered ring system **(11)**, prepared by the reaction of phthalic anhydride and ***(R)*** & ***(S)*** 2-amino-1-butanol. The absolute configuration of one of the molecule, prepared from ***(S)***-(+)-2-amino-1-butanol was determined as ***(2S*,11R*,14S*,23R*)*** by X-ray diffraction.

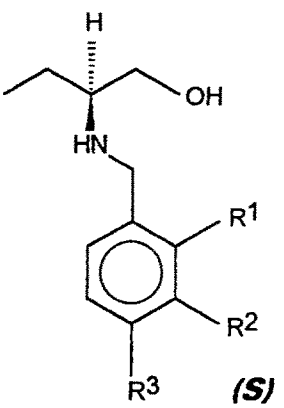


1



(R)

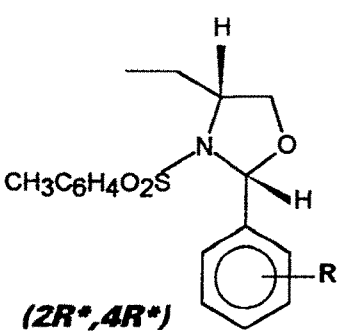
2



(S)

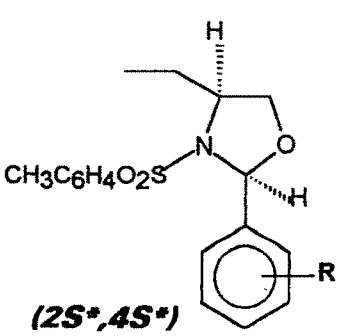
3

R^1	R^2	R^3
H	H	H
H	NO_2	H
H	H	Cl
H	H	CH_3
H	H	OCH_3
H	OCH_3	OCH_3



(2R*,4R*)

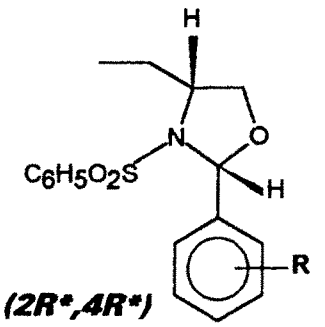
5



(2S*,4S*)

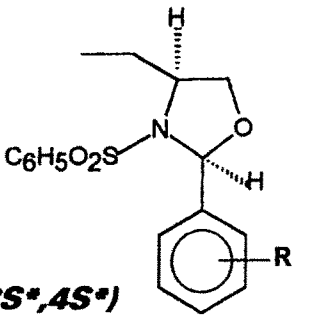
4

R = H, $3NO_2$-, 4Cl-, 2Cl-



(2R,4R*)*

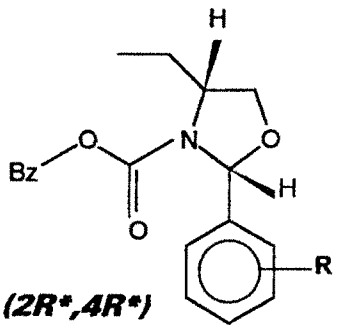
6



(2S,4S*)*

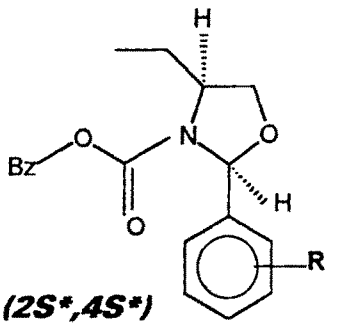
7

R = H, 3NO_2-, 4Cl, 2Cl-



(2R,4R*)*

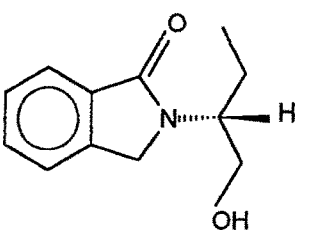
8



(2S,4S*)*

9

R = 4-Cl, 2-Cl, 4NO_2-, 4OH-



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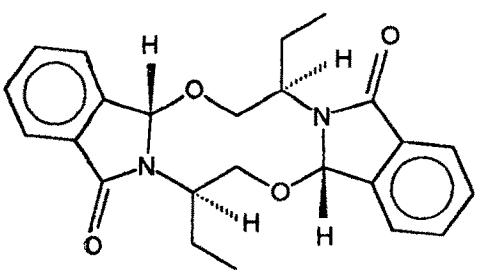

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